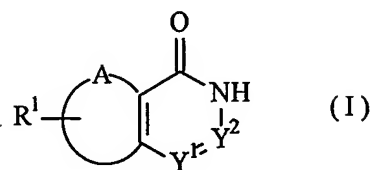


## CLAIMS

1. A compound of the formula (I):



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wherein

R¹ is hydrogen, halogen, lower alkyl or lower alkoxy,

A and two adjacent carbon atoms of the six membered ring to be bonded with A

form benzene ring, pyridine ring, or five to seven membered partially

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saturated ring optionally containing one or more heteroatom(s) selected from

the group consisting of nitrogen atom, oxygen atom, and sulfur atom,

-Y¹=Y²- is  $\begin{array}{c} \text{---N=C---} \\ | \\ \text{L}^{11} \\ | \\ \text{R}^{21} \end{array}$ ,  $\begin{array}{c} \text{---C=N---} \\ | \\ \text{L}^{12} \\ | \\ \text{R}^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ \text{L}^{13} \\ | \\ \text{R}^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ \text{L}^{14} \\ | \\ \text{R}^{24} \end{array}$ ,

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[wherein L¹¹, L¹², L¹³ and L¹⁴ is

(1) lower alkylene,

(2) lower alkenylene,

(3) cyclo(lower)alkylene,

(4) cyclo(lower)alkenylene,

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(5) diradical of saturated- or unsaturated monocyclic group with one or more nitrogen atom(s), which is obtained after removal of one hydrogen atom from said monocyclic group, or

(6) -N(R³)-L- (wherein R³ is hydrogen or lower alkyl, and L is lower alkylene or lower alkenylene), and

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R²¹, R²², R²³ and R²⁴ is

(1) cyclic amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl,

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(2) carbocyclic group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the

group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl, or

- (3) amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl.],

provided that

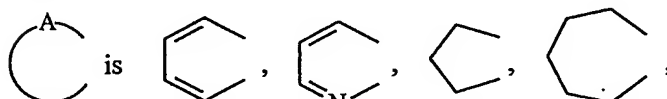
- when A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring,

then  $-Y^1=Y^2-$  is  $\begin{array}{c} \text{---C=N---} \\ | \\ L^{12} \\ \diagdown \\ R^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ L^{13} \\ \diagdown \\ R^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ L^{14} \\ \diagdown \\ R^{24} \end{array}$ ,

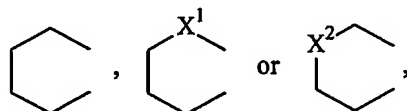
or its prodrug, or their salts.

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2. The compound according to claim 1, wherein



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[wherein  $X^1$  and  $X^2$  is N, O or S].

- 25 3. The compound according to claim 2, wherein

$R^1$  is hydrogen, and

$R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  is tetrahydropyridyl, piperidyl or piperazinyl, each of which is substituted with phenyl substituted with 1 or 2 substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl,

- 30 halo(lower)alkoxy and phenyl.

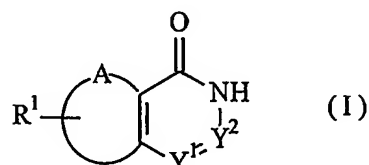
4. The compound according to any one of claims 1, 2 and 3, wherein

$L^{11}$  and  $L^{13}$  is lower alkylene.

- 35 5. The compound according to any one of claims 1, 2, 3 and 4, wherein

$-Y^1=Y^2-$  is  $\begin{array}{c} \text{---N=C---} \\ | \\ L^{11} \\ \diagdown \\ R^{21} \end{array}$

6. A pharmaceutically composition comprising a compound of the formula (I):



5 wherein

$R^1$  is hydrogen, halogen, lower alkyl or lower alkoxy,

A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring, pyridine ring, or five to seven membered partially saturated ring optionally containing one or more heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom, and sulfur atom,

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$-Y^1=Y^2-$  is  $\begin{array}{c} \text{---N=C---} \\ | \\ L^{11} \\ \text{---R}^{21} \end{array}$ ,  $\begin{array}{c} \text{---C=N---} \\ | \\ L^{12} \\ \text{---R}^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ L^{13} \\ \text{---R}^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ L^{14} \\ \text{---R}^{24} \end{array}$ ,

[wherein  $L^{11}$ ,  $L^{12}$ ,  $L^{13}$  and  $L^{14}$  is

15

- (1) lower alkylene,
- (2) lower alkenylene,
- (3) cyclo(lower)alkylene;
- (4) cyclo(lower)alkenylene,
- (5) diradical of saturated- or unsaturated monocyclic group with one or more nitrogen atom(s), which is obtained after removal of one hydrogen atom from said monocyclic group, or
- (6)  $-N(R^3)-L-$  (wherein  $R^3$  is hydrogen or lower alkyl, and L is lower alkylene or lower alkenylene), and

20

$R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  is

25

- (1) cyclic amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl,

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- (2) carbocyclic group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl,

halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl, or

(3) amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl.],

provided that

when A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring,

then  $-Y^1=Y^2-$  is  $\begin{array}{c} \text{---C=N---} \\ | \\ L^{12} \\ \diagdown \\ R^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ L^{13} \\ \diagdown \\ R^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ L^{14} \\ \diagdown \\ R^{24} \end{array}$ ,

or its prodrug, or their pharmaceutically acceptable salts, and a pharmaceutically acceptable carrier, wherein said compound is present in an amount effective for inhibiting PARP activity.

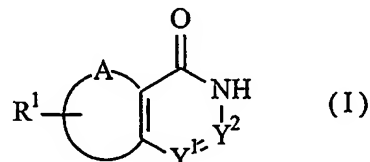
7. The pharmaceutical composition of claim 6 for treating or preventing diseases ascribed by NMDA- and NO-induced toxicity.

8. The pharmaceutical composition of claim 6 for extending the lifespan or proliferative capacity of cells or altering gene expression of senescent cells

9. The pharmaceutical composition of claim 6 for treating or preventing tissue damage resulting from cell damage or death due to necrosis or apoptosis; neural tissue damage resulting from ischemia and reperfusion injury, neurological disorders and neurodegenerative diseases; neurodegenerative diseases; head trauma; stroke; Alzheimer's disease; Parkinson's disease; epilepsy; Amyotrophic Lateral Sclerosis (ALS); Huntington's disease; schizophrenia; chronic pain; ischemia and nloss following hypoxia; hypoglycemia; ischemia; trauma; nervous insult; previously ischemic heart or skeleton muscle tissue; radiosensitizing hypoxic tumor cells; tumor cells from recovering from potentially lethal damage of DNA after radiation therapy; skin aging; arteriosclerosis; osteoarthritis; osteoporosis; muscular dystrophy; degenerative diseases of skeletal muscle involving replicative senescence; age-related macular degeneration; immune senescence; AIDS; other immune senescence diseases; inflammatory bowel disorders (e.g., colitis); arthritis; diabetes; endotoxic

shock; septic shock; or tumor.

10. A method of inhibiting PARP activity comprising administering a compound of the formula (I):



wherein

R<sup>1</sup> is hydrogen, halogen, lower alkyl and lower alkoxy,

A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring, pyridine ring, or five to seven membered partially saturated ring optionally containing one or more heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom, and sulfur atom,

-Y<sup>1</sup>=Y<sup>2</sup>- is  $\text{—N=C—}$ ,  $\text{—C=N—}$ ,  $\text{—CH=C—}$  or  $\text{—C=CH—}$ ,  
 $\text{L}^{11}\text{—R}^{21}$ ,  $\text{L}^{12}\text{—R}^{22}$ ,  $\text{L}^{13}\text{—R}^{23}$  or  $\text{L}^{14}\text{—R}^{24}$

[wherein L<sup>11</sup>, L<sup>12</sup>, L<sup>13</sup> and L<sup>14</sup> is

- (1) lower alkylene,
- (2) lower alkenylene,
- (3) cyclo(lower)alkylene,
- (4) cyclo(lower)alkenylene,
- (5) diradical of saturated- or unsaturated monocyclic group with one or more nitrogen atom(s), which is obtained after removal of one hydrogen atom from said monocyclic group, or
- (6) —N(R<sup>3</sup>)-L- (wherein R<sup>3</sup> is hydrogen or lower alkyl, and L is lower alkylene or lower alkenylene), and

R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> and R<sup>24</sup> is

- (1) cyclic amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl,
- (2) carbocyclic group, which is substituted with phenyl optionally

substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl or

- 5 (3) amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl.],

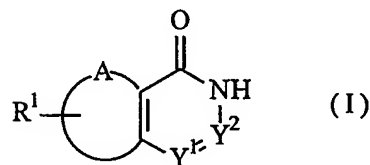
10 provided that

when A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring,

then  $-Y^1=Y^2-$  is  $\begin{array}{c} \text{---C=N---} \\ | \\ L^{12} \\ \text{---R}^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ L^{13} \\ \text{---R}^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ L^{14} \\ \text{---R}^{24} \end{array}$ ,

15 or its prodrug, or their salts.

11. A use of a compound of the formula (I):



20 Wherein

$R^1$  is hydrogen, halogen, lower alkyl or lower alkoxy,

A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring, pyridine ring, or five to seven membered partially saturated ring optionally containing one or more heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom, and sulfur atom,

25  $-Y^1=Y^2-$  is  $\begin{array}{c} \text{---N=C---} \\ | \\ L^{11} \\ \text{---R}^{21} \end{array}$ ,  $\begin{array}{c} \text{---C=N---} \\ | \\ L^{12} \\ \text{---R}^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ L^{13} \\ \text{---R}^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ L^{14} \\ \text{---R}^{24} \end{array}$ ,

[wherein  $L^{11}$ ,  $L^{12}$ ,  $L^{13}$  and  $L^{14}$  is

- 30 (1) lower alkylene,  
 (2) lower alkenylene,  
 (3) cyclo(lower)alkylene,

- (4) cyclo(lower)alkenylene,  
 (5) diradical of saturated- or unsaturated monocyclic group with one or more nitrogen atom(s), which is obtained after removal of one hydrogen atom from said monocyclic group, or  
 (6)  $-N(R^3)-L-$  (wherein  $R^3$  is hydrogen or lower alkyl, and L is lower alkylene and lower alkenylene), and

$R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  is

- (1) cyclic amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl,  
 (2) carbocyclic group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl, or  
 (3) amino group, which is substituted with phenyl optionally substituted with one or more suitable substituent(s) selected from the group consisting of halogen, nitro, lower alkoxy, lower alkyl, halo(lower)alkyl, halo(lower)alkoxy and phenyl, and which is optionally substituted with lower alkyl.],

provided that

when A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring,

then  $-Y^1=Y^2-$  is  $\begin{array}{c} \text{---C=N---} \\ | \\ L^{12} \\ \diagdown \\ R^{22} \end{array}$ ,  $\begin{array}{c} \text{---CH=C---} \\ | \\ L^{13} \\ \diagdown \\ R^{23} \end{array}$  or  $\begin{array}{c} \text{---C=CH---} \\ | \\ L^{14} \\ \diagdown \\ R^{24} \end{array}$ ,

or its prodrug, or their pharmaceutically acceptable salts, for manufacturing a medicament for inhibiting PARP activity.